CURRENTLY PENDING CLAIMS 63-109

63. (Twice Amended) A compound of formula I:

$$R^1$$
 N
 N
 N
 N
 N

wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:

$$(R^{4})_{m}$$

wherein

ring A, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
 - acyloxy selected from alkyl-C(O)O-, substituted alkyl -C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 4) alkyl as defined in R herein;
 - 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
 - 6) alkenyl as defined in T herein;

- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino having the formula $-NH_2$ -;
- substituted amino having the formula -N(R)₂ where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic

- group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- alkaryl of the formula -alkylene-aryl having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
- 17) aryl as defined in A herein;
- 18) aryloxy having the formula -aryl-O wherein aryl is defined in A herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl having the formula -C(O)Oalkyl and -C(O)O-substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
- 22) cyano;
- 23) halo selected from fluoro, chloro, bromo and iodo;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- aminoacyloxy having the formula -NRC(O)OR wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 28) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted

- alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- 31) thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;
- C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;

- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto having the formula =0;
- 21) thicketo having the formula =S;
- 22) thiol having the formula -SH;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;
- 31) hydroxyamino;
- 32) alkoxyamino wherein alkoxy is defined in A5 herein;
- 33) nitro;

- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;
- D) cycloalkenyl of from 4 to 8 carbon atoms;
- E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;
 - 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;
 - 18) carboxyl;

Application No. <u>09/882,777</u> Attorney's Docket No. <u>002010-685</u>

Page 8

- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;
- F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:
 - 1) acyloxy as defined in A1 herein;
 - 2) hydroxy;
 - 3) acyl as defined in A3 herein;

- 4) alkyl as defined in R herein;
- 5) alkoxy as defined in A5 herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy as defined in A9 herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino as defined in A12 herein;
- 13) substituted amino as defined in A13 herein;
- 14) aminoacyl as defined in A14 herein;
- 15) acylamino as defined in A15 herein;
- 16) alkaryl as defined in A16 herein;
- 17) aryl as defined in A herein;
- 18) aryloxy as defined in A18 herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl as defined in A21 herein;
- 22) cyano;
- 23) halo as defined in A23 herein;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy as defined in A27 herein;
- 28) oxyacylamino as defined in A28 herein;
- 29) thioalkoxy as defined in A29 herein;
- 30) substituted thioalkoxy as defined in A30 herein;
- 31) thioaryloxy as defined in A31 herein;
- 32) thioheteroaryloxy as defined in A32 herein;

Application No. <u>09/882,777</u> Attorney's Docket No. <u>002010-685</u> Page 10

- 33) -SO-alkyl as defined in A33 herein;
- -SO-substituted alkyl as defined in A34 herein;
- 35) -SO-aryl as defined in A35 herein;
- 36) -SO-heteroaryl as defined in A36 herein;
- 37) -SO₂-alkyl as defined in A37 herein;
- 38) -SO₂-substituted alkyl as defined in A38 herein;
- 39) -SO₂-aryl as defined in A39 herein;
- 40) -SO₂-heteroaryl as defined in A40 herein; and
- 41) trihalomethyl as defined in A41 herein;
- G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;
 - 16) halogen wherein halo is defined in A23 herein;
 - 17) hydroxyl;

- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- -SO₂-heteroaryl as defined in A40 herein;

ring B, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;

- K) cycloalkenyl as defined in D herein;
- L) substituted cycloalkenyl as defined in E herein;
- M) heteroaryl as defined in F herein; and
- N) heterocyclic as defined in G herein;

ring C, together with the atoms of the ϵ -caprolactam to which it is attached, forms a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
- P) an amino-blocking group being any group, bound to an amino group, which prevents undesired reactions from occurring at the amino group and which may be removed by conventional chemical and/or enzymatic procedures to reestablish the amino group;

 R^2 is selected from the group consisting of:

- Q) hydrogen;
- R) alkyl of from 1 to 20 carbon atoms;
- S) substituted alkyl of from 1 to 20 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;

- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;

- T) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- U) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy wherein alkoxy is defined in A5 herein;
 - 6) substituted cycloalkoxyl wherein substituted alkoxy is defined in A9 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) cyano;
 - 15) halogen wherein halo is defined in A23 herein;
 - 16) hydroxyl;
 - 17) carboxyl;
 - 18) carboxylalkyl as defined in A21 herein;
 - 19) keto as defined in C20 herein;
 - 20) thioketo as defined in C21 herein;
 - 21) thiol as defined in C22 herein;
 - 22) thioalkoxy as defined in A29 herein;
 - 23) substituted thioalkoxy as defined in A30 herein;
 - 24) aryl as defined in A herein;
 - 25) heteroaryl as defined in F herein;

- 26) heterocyclic as defined in G herein;
- 27) heterocyclooxy as defined in C30 herein;
- 28) nitro;
- 29) -SO-alkyl as defined in A33 herein;
- 30) -SO-substituted alkyl as defined in A34 herein;
- 31) -SO-aryl as defined in A35 herein;
- 32) -SO-heteroaryl as defined in A36 herein;
- 33) -SO₂-alkyl as defined in A37 herein;
- 34) -SO₂-substituted alkyl as defined in A38 herein;
- 35) -SO₂-aryl as defined in A39 herein; and
- 36) -SO₂-heteroaryl as defined in A40 herein;
- V) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- W) substituted alkynyl of from 1 to 3 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy as defined in U5 herein;
 - 6) substituted cycloalkoxyl as defined in U6 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) cyano;
 - 15) halogen wherein halo is defined in A23 herein;

- 16) hydroxyl;
- 17) carboxyl;
- 18) carboxylalkyl as defined in A21 herein;
- 19) keto as defined in C20 herein;
- 20) thioketo as defined as C21 herein;
- 21) thiol as defined as C22 herein;
- 22) thioalkoxy as defined in A29 herein;
- 23) substituted thioalkoxy as defined in A30 herein;
- 24) aryl as defined in A herein;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) heterocyclooxy as defined in C30 herein;
- 28) nitro;
- 29) -SO-alkyl as defined in A33 herein;
- 30) -SO-substituted alkyl as defined in A34 herein;
- 31) -SO-aryl as defined in A35 herein;
- 32) -SO-heteroaryl as defined in A36 herein;
- 33) -SO₂-alkyl as defined in A37 herein;
- 34) -SO₂-substituted alkyl as defined in A38 herein;
- 35) -SO₂-aryl as defined in A39 herein; and
- 36) -SO₂-heteroaryl as defined in A40 herein;
- X) aryl as defined in A herein;
- Y) cycloalkyl as defined in B herein;
- Z) heteroaryl as defined in F herein; and
- AA) heterocyclic as defined in G herein;
- R³ is selected from the group consisting of:
- BB) hydrogen;
- CC) alkyl as defined in R herein;
- DD) substituted alkyl as defined in S herein;

- EE) alkenyl as defined in T herein;
- FF) substituted alkenyl as defined in U herein;
- GG) alkynyl as defined in as defined in V herein;
- HH) substituted alkynyl as defined in W herein;
- II) acyl as defined in A3 herein;
- JJ) aryl as defined in A herein;
- KK) cycloalkyl as defined in B herein;
- LL) substituted cycloalkyl as defined in C herein;
- MM) cycloalkenyl as defined in D herein;
- NN) substituted cycloalkenyl as defined in E herein;
- OO) heteroaryl as defined in F herein; and
- PP) heterocyclic as defined in G herein;
- each R4 is independently selected from the group consisting of:
- QQ) alkyl as defined in R herein;
- RR) substituted alkyl as defined in S herein;
- SS) alkenyl as defined in T herein;
- TT) substituted alkenyl as defined in U herein;
- UU) alkynyl as defined in V herein;
- VV) substituted alkynyl as defined in W herein;
- WW) aryl as defined in A herein;
- XX) cycloalkyl as defined in B herein;
- YY) substituted cycloalkyl as defined in C herein;
- ZZ) cycloalkenyl as defined in D herein;
- AAA) substituted cycloalkenyl as defined in E herein;
- BBB) heteroaryl as defined in F herein; and
- CCC) heterocyclic as defined in G herein;
- m is an integer from 0 to 2; or salts thereof.

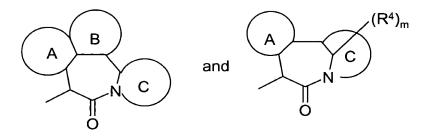
64. (Twice Amended) A compound of formula II:

wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:

$$(R^4)_m$$

$$(R^4$$



wherein

ring A, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
 - acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 4) alkyl as defined in R herein;

- 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino having the formula $-NH_2$ -;
- substituted amino having the formula -N(R)₂ where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

- 15) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- alkaryl of the formula -alkylene-aryl having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
- 17) aryl as defined in A herein;
- 18) aryloxy having the formula -aryl-O wherein aryl is defined in A herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl having the formula -C(O)Oalkyl and -C(O)O-substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
- 22) cyano;
- 23) halo selected from fluoro, chloro, bromo and iodo;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- aminoacyloxy having the formula -NRC(O)OR wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;

- oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- 33) -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;
- C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;

- 4) substituted cycloalkyl as defined in C herein;
- 5) cycloalkenyl as defined in D herein;
- 6) substituted cycloalkenyl as defined in E herein;
- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto having the formula =0;
- 21) thicketo having the formula =S;
- 22) thiol having the formula -SH;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;

Application No. <u>09/882,777</u> Attorney's Docket No. <u>002010-685</u> Page 24

- 31) hydroxyamino;
- 32) alkoxyamino wherein alkoxy is defined in A5 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;
- D) cycloalkenyl of from 4 to 8 carbon atoms;
- E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;

- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;
- F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:

- 1) acyloxy as defined in A1 herein;
- 2) hydroxy;
- 3) acyl as defined in A3 herein;
- 4) alkyl as defined in R herein;
- 5) alkoxy as defined in A5 herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy as defined in A9 herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino as defined in A12 herein;
- 13) substituted amino as defined in A13 herein;
- 14) aminoacyl as defined in A14 herein;
- 15) acylamino as defined in A15 herein;
- 16) alkaryl as defined in A16 herein;
- 17) aryl as defined in A herein;
- 18) aryloxy as defined in A18 herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl as defined in A21 herein;
- 22) cyano;
- 23) halo as defined in A23 herein;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy as defined in A27 herein;
- 28) oxyacylamino as defined in A28 herein;
- 29) thioalkoxy as defined in A29 herein;

- 30) substituted thioalkoxy as defined in A30 herein;
- 31) thioaryloxy as defined in A31 herein;
- 32) thioheteroaryloxy as defined in A32 herein;
- 33) -SO-alkyl as defined in A33 herein;
- -SO-substituted alkyl as defined in A34 herein;
- 35) -SO-aryl as defined in A35 herein;
- 36) -SO-heteroaryl as defined in A36 herein;
- 37) -SO₂-alkyl as defined in A37 herein;
- 38) -SO₂-substituted alkyl as defined in A38 herein;
- 39) -SO₂-aryl as defined in A39 herein;
- 40) -SO₂-heteroaryl as defined in A40 herein; and
- 41) trihalomethyl as defined in A41 herein;
- G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;

- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;

ring B, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;
- K) cycloalkenyl as defined in D herein;
- L) substituted cycloalkenyl as defined in E herein;
- M) heteroaryl as defined in F herein; and
- N) heterocyclic as defined in G herein;

ring C, together with the atoms of the ϵ -caprolactam to which it is attached, forms a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
- P) an amino-blocking group being any group, bound to an amino group, which prevents undesired reactions from occurring at the amino group and which may be removed by conventional chemical and/or enzymatic procedures to reestablish the amino group;

R³ is selected from the group consisting of:

- Q) hydrogen;
- R) alkyl of from 1 to 20 carbon atoms;
- S) substituted alkyl of from 1 to 20 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;

- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thicketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;

- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;
- T) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- U) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy wherein alkoxy is defined in A5 herein;
 - 6) substituted cycloalkoxyl wherein substituted alkoxy is defined in A9 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) cyano;
 - 15) halogen wherein halo is defined in A23 herein;
 - 16) hydroxyl;
 - 17) carboxyl;
 - 18) carboxylalkyl as defined in A21 herein;
 - 19) keto as defined in C20 herein;
 - 20) thioketo as defined in C21 herein;
 - 21) thiol as defined in C22 herein;
 - 22) thioalkoxy as defined in A29 herein;

- Page 32
- 23) substituted thioalkoxy as defined in A30 herein;
- 24) aryl as defined in A herein;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) heterocyclooxy as defined in C30 herein;
- 28) nitro;
- 29) -SO-alkyl as defined in A33 herein;
- 30) -SO-substituted alkyl as defined in A34 herein;
- 31) -SO-aryl as defined in A35 herein;
- 32) -SO-heteroaryl as defined in A36 herein;
- 33) -SO₂-alkyl as defined in A37 herein;
- -SO₂-substituted alkyl as defined in A38 herein;
- 35) -SO₂-aryl as defined in A39 herein; and
- 36) -SO₂-heteroaryl as defined in A40 herein;
- V) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- W) substituted alkynyl of from 1 to 3 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy as defined in U5 herein;
 - 6) substituted cycloalkoxyl as defined in U6 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;

- 13) aminoacyloxy as defined in A27 herein;
- 14) cyano;
- 15) halogen wherein halo is defined in A23 herein;
- 16) hydroxyl;
- 17) carboxyl;
- 18) carboxylalkyl as defined in A21 herein;
- 19) keto as defined in C20 herein;
- 20) thioketo as defined as C21 herein;
- 21) thiol as defined as C22 herein;
- 22) thioalkoxy as defined in A29 herein;
- 23) substituted thioalkoxy as defined in A30 herein;
- 24) aryl as defined in A herein;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) heterocyclooxy as defined in C30 herein;
- 28) nitro;
- 29) -SO-alkyl as defined in A33 herein;
- 30) -SO-substituted alkyl as defined in A34 herein;
- 31) -SO-aryl as defined in A35 herein;
- 32) -SO-heteroaryl as defined in A36 herein;
- 33) -SO₂-alkyl as defined in A37 herein;
- -SO₂-substituted alkyl as defined in A38 herein;
- 35) -SO₂-aryl as defined in A39 herein; and
- 36) -SO₂-heteroaryl as defined in A40 herein;
- X) acyl as defined in A3 herein;
- Y) aryl as defined in A herein;
- Z) cycloalkyl as defined in B herein;
- AA) substituted cycloalkyl as defined in C herein;
- BB) cycloalkenyl as defined in D herein;

CC) substituted cycloalkenyl as defined in E herein;

DD) heteroaryl as defined in F herein; and

EE) heterocyclic as defined in G herein;

each R⁴ is independently selected from the group consisting of:

FF) alkyl as defined in R herein;

GG) substituted alkyl as defined in S herein;

HH) alkenyl as defined in T herein;

II) substituted alkenyl as defined in U herein;

JJ) alkynyl as defined in V herein;

KK) substituted alkynyl as defined in W herein;

LL) aryl as defined in A herein;

MM) cycloalkyl as defined in B herein;

NN) substituted cycloalkyl as defined in C herein;

OO) cycloalkenyl as defined in D herein;

PP) substituted cycloalkenyl as defined in E herein;

QQ) heteroaryl as defined in F herein; and

RR) heterocyclic as defined in G herein;

m is an integer from 0 to 2; or salts thereof with the proviso that:

when W is

$$(R^4)_m$$
 A
 C
 C

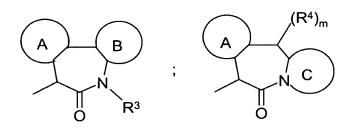
wherein ring A is phenyl substituted with hydroxy, lower alkoxy, nitro, amino or halogen; ring C is substituted cycloalkyl having 4 or 5 carbon atoms and carboxyl or carboxylalkyl

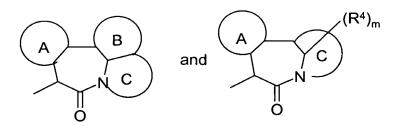
substituent in α -position to nitrogen, then R^1 is not -CO-CR $^5R^6$ -(CH $_2$) $_n$ S-R 7 wherein R^5 represents hydrogen, lower alkyl, aryl, aryl-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, biaryl-lower alkyl or trifluoromethyl; R^6 represents hydrogen or lower alkyl; or R^5 and R^6 together with the carbon to which they are attached represent cycloalkylene or benzo-fused cycloalkylene, R^7 represents hydrogen or acyl and n represents zero or one.

- 65. The compound of Claims 63 or 64 wherein R¹ is selected from the group consisting of hydrogen, *tert*-butoxycarbonyl, benzyloxycarbonyl, acetyl, 1-(1′-adamantyl)-1-methylethoxycarbonyl, allyloxycarbonyl, benzyloxymethyl, 2-*p*-biphenyliso-propyloxycarbonyl, *tert*-butyldimethylsilyl, benzoyl, benzyl, 9-fluorenylmethyloxy-carbonyl, 4-methylbenzyl, 4-methoxybenzyl, 2-nitrophenylsulfenyl, 3-nitro-2-pyridine-sulfenyl, trifluoroacetyl, 2,4,6-trimethoxybenzyl and trityl.
- 66. The compound of Claim 65 wherein R¹ is selected from the group consisting of hydrogen and *tert*-butoxycarbonyl.
- 67. The compound of Claim 63 wherein R² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.
- 68. The compound of Claim 67 wherein R² is selected from the group consisting of hydrogen, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, isobutyl, *sec*-butyl, *tert*-butyl, -CH₂CH(CH₂CH₃)₂, 2-methyl-*n*-butyl, 6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclohexyl, cycloheptyl, allyl, *iso*-but-2-enyl, 3-methylpentyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂-indol-3-yl, *p*-(phenyl)phenyl, *o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl,

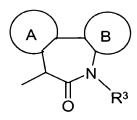
 $p\text{-methoxyphenyl, phenethyl, benzyl, }\textit{m}\text{-hydroxybenzyl, }\textit{p}\text{-hydroxybenzyl, }\textit{p}\text{-nitrobenzyl, }\textit{m}\text{-trifluoromethylphenyl, }\textit{p}\text{-}(CH_3)_2NCH_2CH_2CH_2O\text{-benzyl, }\textit{p}\text{-}(CH_3)_3COC(O)CH_2O\text{-benzyl, }\textit{p}\text{-}(HOOCCH_2O)\text{-benzyl, }2\text{-aminopyrid-6-yl, }\textit{p}\text{-}(N\text{-morpholino-CH}_2CH_2O)\text{-benzyl, }-CH_2CH_2C(O)NH_2, -CH_2\text{-imidazol-4-yl, }-CH_2\text{-}(3\text{-tetrahydrofuranyl}), -CH_2\text{-thiophen-2-yl, }-CH_2(1\text{-methyl})\text{cyclopropyl, }-CH_2\text{-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, }-CH_2(1\text{-methyl})\text{cyclopropyl, }-CH_2\text{-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, }-CH_2-C(O)O\text{-}t\text{-butyl, }-CH_2-C(CH_3)_3, -CH_2CH(CH_2CH_3)_2, -2\text{-methylcyclopentyl, }-cyclohex-2\text{-enyl, }-CH[CH(CH_3)_2]COOCH_3, -CH_2CH_2N(CH_3)_2, -CH_2C(CH_3) = CH_2, -CH_2CH = CHCH_3, -CH_2OH, -CH(OH)CH_3, -CH_2CH_2N(CH_3)_2, -CH_2C(CH_3) = CH_2, -CH_2CH_2CH_3, -(CH_2)_4NH\text{-Boc, }-(CH_2)_4NH_2, -CH_2\text{-pyridyl, pyridyl, }-CH_2\text{-naphthyl, }-CH_2-(4\text{-morpholinyl}), \textit{p}\text{-}(4\text{-morpholinyl-CH}_2CH_2O)\text{-benzyl, benzo[b]thiophen-2-yl, }5\text{-chlorobenzo[b]thiophen-2-yl, }4,5,6,7\text{-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, }5\text{-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-3-yl, }6\text{-methoxynaphth-2-yl, }-CH_2CH_2SCH_3, thien-2-yl and thien-3-yl. }$

- 69. The compound of Claims 63 or 64 wherein R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl and cycloalkyl.
- 70. The compound of Claim 69 wherein R³ is selected from the group consisting of hydrogen, methyl, 2-methypropyl, hexyl, methoxycarbonylmethyl, 3,3-dimethyl-2-oxobutyl, 4-phenylbutyl, cyclopropylmethyl, 2,2,2-trifluoroethyl and cyclohexyl.
- 71. The compound of Claims 63 or 64 wherein W is a substituted ϵ -caprolactam selected from the group consisting of:





- 72. The compound of Claim 71 wherein rings A and B are the same or different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 73. The compound of Claim 72 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.
 - 74. The compound of Claim 73 wherein rings A and B are independently aryl.
- 75. The compound of Claim 71 wherein W is a substituted ϵ -caprolactam of the formula:



76. The compound of Claim 75 wherein rings A and B are the same or different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.

- 77. The compound of Claim 76 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.
 - 78. The compound of Claim 77 wherein rings A and B are independently aryl.
- 79. The compounds of Claim 77 where in rings A and B are independently cycloalkyl.
- 80. The compound of Claim 75 wherein W is a substituted ϵ -caprolactam of the formula:

$$(R^5)_p$$
 N
 R^7

each R⁵ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

each R⁶ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic,

nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

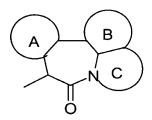
R⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heteroaryl and heterocyclic;

p is an integer from 0 to 4; q is an integer from 0 to 4; and salts thereof.

- 81. The compound of Claim 80 wherein R⁵ and R⁶ are independently selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.
- 82. The compound of Claim 80 wherein R⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, acyl, aryl, cycloalkyl and substituted cycloalkyl.
- 83. The compound of Claim 82 wherein R⁷ is selected from the group consisting of hydrogen, methyl, 2-methypropyl, hexyl, methoxycarbonylmethyl, 3,3-dimethyl-2-oxobutyl, 4-phenylbutyl, cyclopropylmethyl, 2,2,2-trifluoroethyl and cyclohexyl.
- 84. The compound of Claim 80 wherein W is a substituted ϵ -caprolactam selected from the group consisting of 5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-(methoxyacetyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-(3,3-dimethylbutan-2-onyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-cyclopropymethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-cyclopropymethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-yl, 7-cyclohexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 7-hexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 9-fluoro-7-methyl-5,7-dihydro-6H-

dibenz[b,d]azepin-6-one-5-yl, 10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl, 13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one-5-yl and 7-methyl-1,2,3,4,5,7-hexahydro-6H-dicyclohexyl[b,d]azepin-6-one-5-yl.

85. The compound of Claim 71 wherein W is a substituted ϵ -caprolactam of the formula:



- 86. The compound of Claim 85 wherein rings A and B are the same or different and each is independently selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 87. The compound of Claim 86 wherein rings A and B are independently selected from the group consisting of aryl and cycloalkyl.
 - 88. The compound of Claim 87 wherein rings A and B are independently aryl.
- 89. The compound of Claim 88 wherein W is a substituted ϵ -caprolactam of the formula:

$$(R^5)_p$$
 $(R^6)_r$

each R⁵ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

each R⁶ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

p is an integer from 0 to 4; r is an integer from 0 to 3; and salts thereof.

- 90. The compound of Claim 89 wherein R⁵ and R⁶ are independently selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.
- 91. The compound of Claim 71 wherein W is a substituted ϵ -caprolactam of the formula:

- 92. The compound of Claim 91 wherein ring A is selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 93. The compound of Claim 92 wherein ring A is selected from the group consisting of aryl and cycloalkyl.
 - 94. The compound of Claim 93 wherein ring A is aryl.
- 95. The compound of Claim 94 wherein W is a substituted ϵ -caprolactam of the formula:

$$(R^5)_p$$

each R⁵ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

p is an integer from 0 to 4; and salts thereof.

96. The compound of Claim 95 wherein each R⁵ is independently selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino,

substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.

- 97. The compound of Claim 96 wherein each R⁵ is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy and halo.
- 98. The compound of Claim 71 wherein W is a substituted ϵ -caprolactam of the formula:

$$A$$
 C
 $(R^4)_m$

- 99. The compound of Claim 98 wherein ring A is selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic.
- 100. The compound of Claim 99 wherein ring A is selected from the group consisting of aryl and cycloalkyl.
 - 101. The compound of Claim 100 wherein ring A is aryl.
- 102. The compound of Claim 101 wherein W is a substituted ϵ -caprolactam of the formula:

each R⁵ is independently selected from the group consisting of acyl, acylamino, acyloxy, alkenyl, substituted alkenyl, alkoxy, substituted alkoxy, alkyl, substituted alkyl, alkynyl, substituted alkynyl, amino, substituted amino, aminoacyl, aryl, aryloxy, carboxyl, carboxyalkyl, cyano, cycloalkyl, substituted cycloalkyl, halo, heteroaryl, heterocyclic, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioheteroaryloxy, -SO-alkyl, -SO-substituted alkyl, -SO-aryl, -SO-heteroaryl, -SO₂-alkyl, -SO₂-substituted alkyl, -SO₂-aryl, and -SO₂-heteroaryl;

p is an integer from 0 to 4; and salts thereof.

- 103. The compound of Claim 102 wherein R⁵ is selected from the group consisting of alkoxy, substituted alkoxy, alkyl, substituted alkyl, amino, substituted amino, carboxyl, carboxyalkyl, cyano, halo, nitro, thioalkoxy and substituted thioalkoxy.
- 104. The compound of Claims 63 or 64, wherein W is a substituted ϵ -caprolactam selected from the group consisting of:

$$(R^{5})_{p}$$
 $(R^{5})_{p}$
 $(R^{5})_{p}$
 $(R^{5})_{p}$
 $(R^{5})_{p}$
 $(R^{5})_{p}$
 $(R^{5})_{p}$

- 105. The compound of Claim 104, wherein p, q and r are independently 0 or 1; each R^5 is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, alkoxy, and halo; each R^6 is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, alkoxy, and halo; and each R^7 is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl and aryl.
 - 106. The compound of Claim 105, wherein p, q and r are 0.
- 107. The compound of Claim 104, wherein p, q and r are independently 0, 1 or 2; each R^5 is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; each R^6 is independently selected from the group consisting of alkyl, substituted alkyl, alkoxy, and halo; and each R^7 is independently selected from the group consisting of alkyl, substituted alkyl, cycloalkyl and aryl.
 - 108. The compound of Claim 107, wherein p and q are independently 0 or 1.
 - 109. (Amended) A compound selected from the group consisting of:

5-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(N-Boc-amino)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(N-Boc-amino)-7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(N-Boc-amino)-7-(methoxycarbonymethyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-(methoxycarbonylmethyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(N-Boc-amino)-7-(3,3-dimethyl-butanonyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-(3,3-dimethyl-2-butanonyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-cyclopropymethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-(2',2',2'-trifluoroethyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-cyclohexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-hexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-amino-7-methyl-1,2,3,4,5,7-hexahydro-6H-dicyclohexyl[b,d]azepin-6-one

5-(N-Boc-L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(N-Boc-L-valinyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-(L-valinyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

- 5-(N-Boc-L-tert-leucinyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-tert-leucinyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-alaninyl)amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-alaninyl)amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-alaninyl)amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-alaninyl)amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(*N*-Boc-L-alaninyl)amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-alaninyl)amino-13-fluoro-7-methyl-5,7-dihydro-6H- dibenz[b,d]azepin-6-one
- 5-(*N*-Boc-L-alaninyl)amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-alaninyl)amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-alaninyl)amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-alaninyl)amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-valinyl)amino-7-cyclopropylmethyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-valinyl)amino-7-phenbutyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-7-hexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-valinyl)amino-7-hexyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

- 5-(L-valinyl)amino-9-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-valinyl)amino-10-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(N-Boc-L-valinyl)amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(L-valinyl)amino-13-fluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-amino-9,13-difluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-amino-10,13-difluoro-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-aminohexahydropyrido[a]benz[d]azepin-6-one
- 9-amino-5,6-Dihydro-4H-quino[8,1-ab][3]benzazepin-8(9H)-one
- 9-(N'-Boc-L-alaninyl)amino-5,6-Dihydro-4H-quino[8,1-ab][3]benzazepin-8(9H)-one
- 9-(N'-L-alaninyl)amino-5,6-dihydro-4H-quino[8,1-ab][3]benzazepin-8(9H)-one
- 7-amino-1,3,4,7,12,12a-hexahydropyrido[2,1-b][3]benzazepin-6(2H)-one
- 1-amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one
- 1-(N'-Boc-L-alaninyl)amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one
- 1-(N'-L-alaninyl)amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one or salts thereof.